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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS XP-002205270
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AN.
      * ***1991:81809***
                            CAPLUS
DN,
     114:81809
     Preparation of 7-amino-2-(hydroxymethyl)-s-triazolo[1,5-a]pyrimidine
TI
     derivatives as cardiovascular agents
     Shimizu, Shinichiro
IN
PA
                                            P.D. 00 -00 -00
SO
     Jpn. Kokai Tokkyo Koho, 11 pp.
                                                          16
     CODEN: JKXXAF
     Patent
DT
LА
     Japanese
IC
     ICM
          C07D487-04
     ICS
          A61K031-505
ICA
     A61K007-06
CC
     28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
FAN.CNT 1
     PATENT NO.
                       KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
PΙ
     JP <u>02212488</u>
                        A2
                             19900823
                                            JP 1989-32929
                                                             19890213
os
     MARPAT 114:81809
GI
     Diagram(s) available in offline prints and/or printed CA Issue.
AB
     The title derivs. I (R1, R2 =H, lower alkyl, aralkyl; R3 = H, lower alky
     R4 = H, lower alkyl, CF3; R3R4 may be alkylene; R5 = H, NO2, ester resic of org. carboxylic acids, CONR6R7; R6, R7 = H, lower alkyl) are prepd. \epsilon
     drugs for treatment of cardiovascular disorders, esp. cerebral ischemic
     diseases such as arteriosclerosis, cerebral and myocardial infarction,
     senile dementia, hyperlipemia, etc. I show coronary vasodilatory
     activity, inhibition on synthesis of prostaglandins and thromboxane A2,
     and hypolipemic activity. I are also useful as inhibitors for tumor
     metastasis, ulcer inhibitors, drugs for skin diseases, and hair growth.
     DMF soln. of 160 g 2-(hydroxymethyl)-5-methyl-s-triazolo[1,5-a]-pyrimidi
     7-ol was treated with Ac2O and p-MeC6H4SO3H at 70.degree. for 22 h to gi
     120 g 2-(acetoxymethyl)-5-methyl-s-triazolo[1,5-a]pyrimidin-7-ol, 60 g o
     which was further treated with a reaction mixt. of POC13 and PhNMe2 at
     50-60.degree. for 1 h to give 63 g 2-(acetoxymethyl)-5-methyl-7-chloro-s
     triazolo[1,5-a]pyrimidine (II). Et2NH was added dropwise to an EtOH
     suspension of 24 g II at 0.degree. over 15 min and the reaction mixt. wa
     further stirred at room temp. for 1 h to give 25 g I (R1 = R2 = Et, R3 =
     H, R4 = Me, R5 = Ac).
ST
     triazolopyrimidine prepn cardiovascular agent; hypolipemic
     triazolopyrimidine prepn; vasodilator triazolopyrimidine prepn; cerebral
     ischemic disease treatment triazolopyrimidine
     Anticholesteremics and Hypolipemics
IT
     Neoplasm inhibitors
     Ulcer inhibitors
     Vasodilators
        (amino(hydroxymethyl)triazolopyrimidine derivs.)
IT
        (growth of, amino(hydroxymethyl)triazolopyrimidine effects on)
IT
     Skin, disease or disorder
        (treatment of, amino(hydroxymethyl)triazolopyrimidine derivs. for)
IT
     ***55605-57-7***
     RL: RCT (Reactant)
        (acetylation of, acetoxymethyl deriv. from)
                    , 2-Chlorobenzylamine
IT
                                           ***109-89-7***
                                                             , Diethylamine,
                 ***124-40-3*** , Dimethylamine, reactions
     reactions
     RL: RCT (Reactant)
        (amination with, of chlorotriazolopyrimidine derivs.)
IT
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isocyanate
      RL: RCT (Reactant)
         (carbamoylation with, of (hydroxymethyl)triazolopyrimidine)
IT
      ***63870-39-3***
     RL: RCT (Reactant)
         (cyclocondensation of, with Et oxocyclopentanecarbxylate,
         hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)
      ***611-10-9*** , Ethyl 2-oxocyclopentanecarboxylate
IT
     RL: RCT (Reactant)
         (cyclocondensation of, with amino(hydroxymethyl)triazole,
         hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)
IT
      ***62-46-4***
                     , Thioctic acid ***112-77-6***
                                                          , Oleoyl chloride
     ***1002-84-2***
                        , Pentadecanoic acid
                                                ***10417-94-4***
     ***20260-53-1***
                         , Nicotinoyl chloride hydrochloride
     RL: RCT (Reactant)
         (esterification of, with (hydroxymethyl)triazolopyrimidines)
IT
     ***131278-43-8P***
     RL: SPN (Synthetic preparation); PREP (Preparation)
         (prepn. and acetylaiton of, acetoxymethyl deriv. from)
IT
     ***121608-85-3P***
                              ***131278-45-0P***
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
         (prepn. and amination of, with dialkylamines)
IT
     ***121608-84-2P***
                              ***131278-44-9P***
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
         (prepn. and chlorination of)
IT
     ***131258-83-8P***
                              ***131258-85-0P***
                                                      ***131278-46-1P***
     ***131278-47-2P***
                              ***131278-48-3P***
                                                      ***131278-49-4P***
     ***131278-50-7P***
                              ***131278-51-8P***
                                                      ***131278-52-9P***
     ***131278-53-0P***
                              ***131278-54-1P***
                                                      ***131278-55-2P***
     ***131278-56-3P***
                              ***131278-57-4P***
                                                      ***131278-58-5P***
     ***131278-59-6P***
                              ***131278-60-9P***
                                                      ***131278-61-0P***
     ***131278-62-1P***
                              ***131278-63-2P***
                                                      ***131278-64-3P***
     ***131278-65-4P***
                              ***131278-66-5P***
                                                      ***131278-67-6P***
     ***131278-68-7P***
                              ***131302-05-1P***
                                                      ***131302-06-2P***
     ***131302-07-3P***
                              ***131302-08-4P***
                                                      ***131325-42-3P***
     ***131826-51-2P***
     RL: BAC (Biological activity or effector, except adverse); SPN (Syntheti preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of, as cardiovascular agent)
IT
     ***55605-57-7***
     RL: RCT (Reactant)
        (acetylation of, acetoxymethyl deriv. from)
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\*\*\*131258-83-8P\*\*\* \*\*\*131278-49-4P\*\*\* \*\*\*131278-48-3P\*\*\* \*\*\*131278-47-2P\*\*\* \*\*\*131278-52-9P\*\*\* \*\*\*131278-51-8P\*\*\* \*\*\*131278-50-7P\*\*\* \*\*\*131278-54-1P\*\*\* \*\*\*131278-55-2P\*\*\* \*\*\*131278-53-0P\*\*\* \*\*\*131278-58-5P\*\*\* \*\*\*131278-57-4P\*\*\* \*\*\*131278-56-3P\*\*\* \*\*\*131278-61-0P\*\*\* \*\*\*131278-60-9P\*\*\* \*\*\*131278-59-6P\*\*\* \*\*\*131278-64-3P\*\*\* \*\*\*131278-63-2P\*\*\* \*\*\*131278-62-1P\*\*\* \*\*\*131278-67-6P\*\*\* \*\*\*131278-66-5P\*\*\* \*\*\*131278-65-4P\*\*\* \*\*\*131302-06-2P\*\*\* \*\*\*131302-05-1P\*\*\* \*\*\*131278-68-7P\*\*\* \*\*\*131325-42-3P\*\*\* \*\*\*131302-08-4P\*\*\* \*\*\*131302-07-3P\*\*\* \*\*\*131826-51-2P\*\*\* RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as cardiovascular agent) 131258-83-8 CAPLUS RNUndecenoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-CN a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME) CM 1 131258-82-7 CRN C20 H33 N5 O2 CMF 0 .(CH2)9.....Me .CH2.. Me. .C C

NMe2

```
RN
                     131258-85-0
                                   CAPLUS
CN
     Undecenoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidi
     2-yl]methyl ester (9CI) (CA INDEX NAME)
     CM
          1
     CRN
          131258-84-9
     CMF
          C22 H37 N5 O2
                                                0
Me.
            N
                      N
                                 .CH2....O
                                             ...C ....(CH2)9.....Me
      .C.:
           :C.
            NEt2
     131278-46-1 CAPLUS
RN
CN
     [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-
     (9CI) (CA INDEX NAME)
Me.
                                  CH2....OH
      .C :
       C :
           : C
```

NEt2

131278-47-2 CAPLUS RN[1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-(9CI) (CA INDEX NAME) -Me. CH2....OH .c : .C: : C NMe2 ŔŊ 131278-48-3 CAPLUS [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-, CN nitrate (ester) (9CI) (CA INDEX NAME) Me. CH2 ....O ....NO2

```
CN
     [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-
     nitrate (ester) (9CI) (CA INDEX NAME)
                                  CH2 ....O ....NO2
Me.
        C
                  N...
             NMe2
RN
     131278-50-7 CAPLUS
     Pentadecanoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-
CN
     a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)
                                                0
Me.
                                 .CH2.....O....C ...(CH2)13 ......
            N
      .C:
       ċ
                 .N.
           :C.
```

131278-49-4 CAPLUS

RN

NMe2

```
131278-51-8
                                CAPLUS
    Pentadecanoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-
\mathbb{C}N
    a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)
                               .CH2.....O....C ...(CH2)13 ...
                     N
Me.
      .C:
                         .c.
       C
           :C.
            NEt2
     131278-52-9 CAPLUS
RN
     9,12-Octadecadienoic acid (9Z,12Z)-, [7-(dimethylamino)-5-
CN
     methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI)
                                                                 (CA INDEX
                                             0
                                        O....C....(CH2)7
                               CH2 ...
            N
Me.
      .C:
       ċ
           :C.
            NMe2
Page 1-A
```

STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

Page 1-B

```
9,12,15-Octadecatrienoic acid, [7-(diethylamino)-5-
CN
    methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (Z,Z,Z)-
                                                                     (9CI)
    (CA INDEX NAME)
Me
                              . CH2.....C....(CH2)7......CH :::::::C
            NEt2
Page 1-A
....CH2 .....CH ::::::::CH.....CH2.....CH ::::::::CH.....Et
Page 1-B
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT
     131278-54-1 CAPLUS
CN
     9,12,15-Octadecatrienoic acid, [7-(dimethylamino)-5-
     methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (Z,Z,Z)- (9CI)
     (CA INDEX NAME)
Me
                               CH2.....Ch :::::::
            : N.
                     N.
             NMe2
Page 1-A
....CH2 .....CH :::::::CH.....CH2......CH :::::::CH.....Et
Page 1-B
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT
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RN 131278-5
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```
9-Octadecenoic acid (9Z)-, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5
    a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)
                                               0
                                CH2 .....C....(CH2)7 ......CH:::::::
                      N
           N
Me.
                          .c.
      .C:
            NMe2
Page 1-A
.....(CH2)7.....Me
Page 1-B
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT
     131278-56-3
                 CAPLUS
     5,8,11,14,17-Eicosapentaenoic acid, [7-(dimethylamino)-5-
CN
     methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z)- (9CI)
     (CA INDEX NAME)
                                              .C...(CH2)3
                      N.
            :N.
Me
                 .N..
             NMe2
Page 1-A
....CH2 .....CH ::::::CH.....CH2 .....CH ::::::CH.....CH2......CH ::::::CH.
Page 1-B
..CH2.....CH ::::::CH.....Et
Page 1-C
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT
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```
RN 131278-57 Retinoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-
```

```
yl]methyl ester (9CI) (CA INDEX NAME)
                                                    0
                                                                   Me
Me.
             :N.
                        : N
                                                      ...CH ::::::C
                                                                      ...CH ::::::
         C
                                                   .C
                    C
         C:
                   .N....
             : C.
              NEt2
Page 1-A
                                      Me
                                               C.
               Me
                                 Me.
 ....CH ::::::C ....CH :::::::CH.......C
                                               C.
                                              Me
Page 1-B
                    CAPLUS
RN
      131278-58-5
      3-Pyridinecarboxylic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,!
CN
      a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)
                                                                :N.
                                                    0
                                                           C
                                                                      C
Me
             N.
                        N.
                                                                      C
       .C.:
                   .C:
                                                                 C
        C.
                   N.
                              N
             :C.
```

CN

NMe2

```
3-Pyridinecarboxylic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-
     a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)
                                                             : N.
                                                  0
                                                        C
                       N.
            N.
Me
                               ....CH2 ....
                            N
       C.
                  N.
            :C.
             NEt2
     131278-60-9
                   CAPLUS
RN
     1,2-Dithiolane-3-pentanoic acid, [7-(diethylamino)-5-
CN
     methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI)
                                                                        (CA INDEX
     NAME)
                                                   0
                                                                                 S.
Me
                             C:.....CH2......O.....C
                                                       .(CH2)4
              NEt2
Page 1-A
   S
```

131278-59-6 CAPLUS

BNSDOCID: <XP\_\_\_2205270A\_\_i\_>

Page 1-B

CN

```
131278-61-0 CAPLUS
        RN
     [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-&
CN
     carbamate (ester) (9CI) (CA INDEX NAME)
                                                 0
                                 CH2 .....O....C....NH2
                      N
Me.
            N
      .C:
                           .c.
            NEt2
     131278-62-1
                   CAPLUS
RN
     [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-,
CN
     methylcarbamate (ester) (9CI) (CA INDEX NAME)
                                                 0
                                      .....O....C....NHMe
                                 CH2
Me.
            N
       .C:
                            c.
       ·
C
            :C.
```

NMe2

ı

```
[1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,
     methylcarbamate (ester) (9CI) (CA INDEX NAME)
                                                        0
                                      CH2 ....O.
Me.
       .C:
              NEt2
      131278-64-3 CAPLUS
RN
      [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-[[(2-chlorophenyl)methyl]amino]-5-methyl-, acetate (ester) (9CI) (CA INDEX
CN
      NAME)
  C1
                CH2
                NH
               :C:
                               N:
                                              CH2....OAc
         C:
                       N.
         C
```

131278-63-2 CAPLUS

BNSDOCID: <XP\_\_\_2205270A\_\_I\_>

Me

N.

131278-65-4 CAPLUS

RN

N.

Me

```
CN . [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,
     acetate (ester) (9CI) (CA INDEX NAME)
Me.
                                  CH2....OAc
       .C
        C
            : C
             NEt2
      131302-05-1 CAPLUS
RN
      5,8,11,14,17-Eicosapentaenoic acid, [7-(diethylamino)-5-
CN
      methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z)- (9CI)
      (CA INDEX NAME)
                                  CH2.....O....C....(CH2)3 .......CH :::::::(
             :N.
                       N.
Me .
         C
         C:
                  .N..
              NEt2
 Page 1-A
 ....CH2 .....CH ::::::CH.....CH2 .....CH ::::::CH.....CH2......CH :::::::CH
 Page 1-B
 ..CH2.....CH ::::::CH.....Et
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STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

131278-68-7 CAPLUS

Page 1-C

CN	9,12-Oct methyl[1 NAME)	adecadie	enoic acid iazolo[1,5~	(9Z,12Z)-, a]pyrimidi	[7-(diethyl n-2-yl]methy	RN amino)-5- l ester (9CI)	(CA INDEX
Me.	4		N	Ċ <b>н</b> 2	0 : : : .oc	(CH2)7	.CH::::::(
			: . C. : : : : : : : : : : : : : : : : :	•			
Page	e 1-A CH2	CH::::	:::::CH	.(CH2)4	Me		

Page 1-B STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT